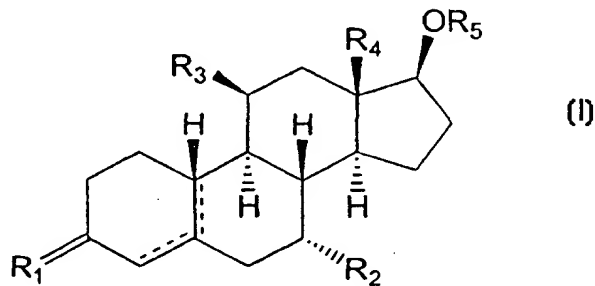


(51) International Patent Classification ⁷ : C07J 1/00	A2	(11) International Publication Number: WO 00/59920
		(43) International Publication Date: 12 October 2000 (12.10.00)



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(43) International Publication Date
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(10) International Publication Number
WO 00/59920 A3

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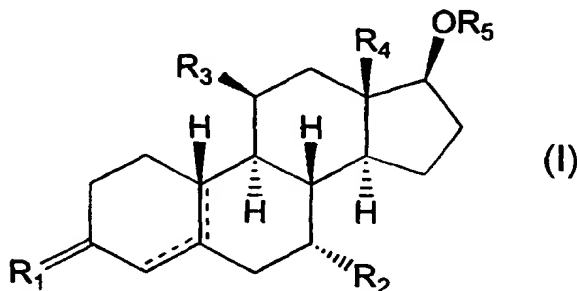
- | | |
|--|--|
| <p>(51) International Patent Classification⁷:
A61K 31/565, A61P 5/26</p> | <p>(74) Agent: KRAAK, Hajo; P.O. Box 20, NL-5340 BH Oss (NL).</p> |
| <p>(21) International Application Number: PCT/EP00/02851</p> | <p>(81) Designated States (<i>national</i>): AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA.</p> |
| <p>(22) International Filing Date: 31 March 2000 (31.03.2000)</p> | <p>(84) Designated States (<i>regional</i>): ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).</p> |
| <p>(25) Filing Language: English</p> | <p>Published:
— <i>With international search report.</i></p> |
| <p>(26) Publication Language: English</p> | <p>(88) Date of publication of the international search report:
15 February 2001</p> |
| <p>(30) Priority Data:
99201070.2 6 April 1999 (06.04.1999) EP</p> | <p><i>For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.</i></p> |
| <p>(71) Applicant (<i>for all designated States except US</i>): AKZO NOBEL N.V. [NL/NL]; Velperweg 76, NL-6824 BM Arnhem (NL).</p> | |
| <p>(72) Inventors; and</p> | |
| <p>(75) Inventors/Applicants (<i>for US only</i>): LOUW VAN DER, Jaap [NL/NL]; Pauwoog 12, NL-5345 EN Oss (NL). LEYSEN, Dirk [BE/BE]; Kerkstraat 26, B-3920 Lommel (BE). BUMA BURSI, Roberta [IT/NL]; De Baken 25, NL-5231 HT s'Hertogenbosch (NL).</p> | |

Published:
— *With international search report.*

(88) Date of publication of the international search report:
15 February 2001

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ORALLY ACTIVE 7.ALPHA.-ALKYL ANDROGENS



(57) **Abstract:** Novel, orally active androgens are derivatives of 7 α -methyl-19-nortestosterone. The compounds satisfy general formula (I) wherein R₁ is O, (H, H), (H, OR), NOR, with R being hydrogen, (C₁₋₆) alkyl, or (C₁₋₆) acyl; R₂ is selected from the group consisting of (C₂₋₃) alkyl, isopropyl, (C₂₋₃) 1-alkenyl, isopropenyl, 1,2-propadienyl, or (C₂₋₃) 1-alkynyl, each optionally substituted by halogen; or R₂ is cyclopropyl, or cyclopropenyl, each optionally substituted by (C₁₋₂) alkyl, or halogen; R₃ is hydrogen, (C₁₋₂) alkyl, or ethenyl; R₄ is (C₁₋₂) alkyl; R₅ is hydrogen, or (C₁₋₁₅) acyl; and the dotted lines indicate optional bonds.

WO 00/59920 A3

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/02851

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07J1/00 A61K31/565 A61P5/26

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07J A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, WPI Data, EPO-Internal, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	SOLO, ALAN J. ET AL: "7.alpha.-Alkyltestosterone derivatives: synthesis and activity as androgens and as aromatase inhibitors" STEROIDS., vol. 40, no. 6, December 1982 (1982-12), pages 603-614, XP002111323 ELSEVIER SCIENCE PUBLISHERS, NEW YORK, NY., US page 606, paragraph 2 page 607; table 1 ---	1-16
Y	US 5 342 834 A (BARDIN C WAYNE ET AL) 30 August 1994 (1994-08-30) cited in the application the whole document -----	1-16



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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Date of the actual completion of the international search

28 September 2000

Date of mailing of the international search report

06/10/2000

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Watchorn, P

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/02851

Patent document
cited in search report

Publication
date

Patent family
member(s)

Publication
date

US 5342834

A

30-08-1994

NONE

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INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 99469 WO	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/EP 00/ 02851	International filing date (day/month/year) 31/03/2000	(Earliest) Priority Date (day/month/year) 06/04/1999
Applicant AKZO NOBEL N.V. et al.		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 2 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

ORALLY ACTIVE 7-ALPHA.-ALKYL ANDROGENS

5. With regard to the **abstract**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No. _____

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07J1/00 A61K31/565 A61P5/26

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07J A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, WPI Data, EPO-Internal, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	SOLO, ALAN J. ET AL: "7.alpha.-Alkyltestosterone derivatives: synthesis and activity as androgens and as aromatase inhibitors" STEROIDS., vol. 40, no. 6, December 1982 (1982-12), pages 603-614, XP002111323 ELSEVIER SCIENCE PUBLISHERS, NEW YORK, NY., US page 606, paragraph 2 page 607; table 1	1-16
Y	US 5 342 834 A (BARDIN C WAYNE ET AL) 30 August 1994 (1994-08-30) cited in the application the whole document	1-16

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- "&" document member of the same patent family

Date of the actual completion of the international search

28 September 2000

Date of mailing of the international search report

06/10/2000

Name and mailing address of the ISA

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NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Watchorn, P

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/02851

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5342834 A	30-08-1994	NONE	

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NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

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United States Patent and Trademark
Office, PCT
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CP2/5C24
Arlington, VA 22202
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in its capacity as elected Office

Date of mailing (day/month/year)

03 January 2001 (03.01.01)

International application No.

PCT/EP00/02851

Applicant's or agent's file reference

99469 WO

International filing date (day/month/year)

31 March 2000 (31.03.00)

Priority date (day/month/year)

06 April 1999 (06.04.99)

Applicant

LOUW VAN DER, Jaap et al

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:

26 October 2000 (26.10.00)

☐ in a notice effecting later election filed with the International Bureau on:2. The election ☒ was☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO
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1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

Pascal Piriou

Telephone No.: (41-22) 338.83.38